R<sup>3</sup> and R<sup>4</sup> are independently H, straight chained, branched or cyclic alkyl, dialkylaminoalkylene, CO-alkyl, CO-aryl, CO-alkoxyalkyl, CO-aryloxyalkyl, CO-substituted aryl, alkylsulfonyl, arylsulfonyl, aralkylsulfonyl, amino acid residue, mono, di, or triphosphate, or a phosphate prodrug.

- 176. (New) The compound of claim 175, wherein R<sup>2</sup> is CO-alkyl.
- 177. (New) The compound of claim 176, wherein the CO-alkyl is CO-methyl.
- 178. (New) The compound of claim 176, wherein the CO-alkyl is CO-propyl.
- 179. (New) The compound of claim 175, wherein R<sup>2</sup> is an amino acid residue of the formula C(O)C(R<sup>8</sup>)(R<sup>9</sup>)(NR<sup>10</sup>R<sup>11</sup>), wherein R<sup>8</sup> is the side chain of an amino acid and wherein R<sup>8</sup> can optionally be attached to R<sup>10</sup> to form a ring structure; or alternatively, R<sup>8</sup> is an alkyl, aryl, heteroaryl or heterocyclic

 $R^9$  is hydrogen, alkyl or aryl; and  $R^{10}$  and  $R^{11}$  are independently hydrogen, acyl or alkyl.

- 180. (New) The compound of claim 179, wherein R<sup>2</sup> is L-valinyl.
- 181. (New) The compound of claim 175, wherein R<sup>3</sup> and R<sup>4</sup> are hydrogen.
- 182. (New) The compound of claim 175, wherein R<sup>3</sup> is hydrogen and R<sup>4</sup> is dimethylaminomethylene.
- 183. (New) The compound of claim 175, wherein R<sup>3</sup> is hydrogen and R<sup>4</sup> is CO-alkyl.
- 184. (New) The compound of claim 175, wherein R<sup>3</sup> is hydrogen and R<sup>4</sup> is CO-methyl.
- 185. (New) The compound of claim 175, wherein R<sup>3</sup> is hydrogen and R<sup>4</sup> is L-valinyl.
- 186. (New) A compound of the formula:

moiety;

or its pharmaceutically acceptable salt thereof, wherein

R<sup>2</sup> is selected from the group consisting of straight chained, branched or cyclic alkyl, CO-alkyl, CO-aryl, CO-alkoxyalkyl, CO-aryloxyalkyl, CO-substituted aryl, alkylsulfonyl, arylsulfonyl, aralkylsulfonyl, amino acid residue, mono, di, or triphosphate, or a phosphate prodrug.

- 187. (New) The compound of claim 186, wherein R<sup>2</sup> is CO-alkyl.
- 188. (New) The compound of claim 187, wherein the CO-alkyl is CO-methyl.
- 189. (New) The compound of claim 187, wherein the CO-alkyl is CO-propyl.
- 190. (New) The compound of claim 186, wherein  $R^2$  is an amino acid residue of the formula  $C(O)C(R^8)(R^9)(NR^{10}R^{11})$ , wherein

 $R^8$  is the side chain of an amino acid and wherein  $R^8$  can optionally be attached to  $R^{10}$  to form a ring structure; or alternatively,  $R^8$  is an alkyl, aryl, heteroaryl or heterocyclic moiety;

R9 is hydrogen, alkyl or aryl; and

R<sup>10</sup> and R<sup>11</sup> are independently hydrogen, acyl or alkyl.

- 191. (New) The compound of claim 190, wherein R<sup>2</sup> is L-valinyl.
- 192. (New) A pharmaceutical composition comprising an effective anti-HBV amount of a compound of the formula:

or its pharmaceutically acceptable salt thereof, wherein

R<sup>2</sup> is selected from the group consisting of straight chained, branched or cyclic alkyl, CO-alkyl, CO-aryl, CO-aryloxyalkyl, CO-substituted aryl, alkylsulfonyl, arylsulfonyl, aralkylsulfonyl, amino acid residue, mono, di, or triphosphate, or a phosphate prodrug; and

R<sup>3</sup> and R<sup>4</sup> are independently H, straight chained, branched or cyclic alkyl, dialkylaminoalkylene (in particular, dimethylaminomethylene), CO-alkyl, CO-aryl, CO-

alkoxyalkyl, CO-aryloxyalkyl, CO-substituted aryl, alkylsulfonyl, arylsulfonyl, aralkylsulfonyl, amino acid residue, mono, di, or triphosphate, or a phosphate prodrug; with a pharmaceutically acceptable carrier or diluent.

- 193. (New) The pharmaceutical composition of claim 192, wherein R<sup>2</sup> is CO-alkyl.
- 194. (New) The pharmaceutical composition of claim 193, wherein the CO-alkyl is CO-methyl.
- 195. (New) The pharmaceutical composition of claim 193, wherein the CO-alkyl is CO-propyl.
- 196. (New) The pharmaceutical composition of claim 192, wherein R<sup>2</sup> is an amino acid residue of the formula C(O)C(R<sup>8</sup>)(R<sup>9</sup>)(NR<sup>10</sup>R<sup>11</sup>), wherein R<sup>8</sup> is the side chain of an amino acid and wherein R<sup>8</sup> can optionally be attached to R<sup>10</sup> to form a ring structure; or alternatively, R<sup>8</sup> is an alkyl, aryl, heteroaryl or heterocyclic moiety;

R<sup>9</sup> is hydrogen, alkyl or aryl; and

 $R^{10}$  and  $R^{11}$  are independently hydrogen, acyl or alkyl.

- 197. (New) The pharmaceutical composition of claim 196, wherein R<sup>2</sup> is L-valinyl.
- 198. (New) The pharmaceutical composition of claim 192, wherein R<sup>3</sup> and R<sup>4</sup> are hydrogen.
- 199. (New) The pharmaceutical composition of claim 192, wherein R<sup>3</sup> is hydrogen and R<sup>4</sup> is dimethylamino-methylene.
- 200. (New) The pharmaceutical composition of claim 192, wherein R<sup>3</sup> is hydrogen and R<sup>4</sup> is CO-alkyl.
- 201. (New) The pharmaceutical composition of claim 192, wherein R<sup>3</sup> is hydrogen and R<sup>4</sup> is CO-methyl.
- 202. (New) The pharmaceutical composition of claim 192, wherein R<sup>3</sup> is hydrogen and R<sup>4</sup> is L-valinyl.

203. (New) A pharmaceutical composition comprising an effective anti-HBV amount of a compound of the formula:

$$\begin{array}{c} NH_2 \\ N \\ N \\ O \end{array}$$

or its pharmaceutically acceptable salt thereof, wherein

R<sup>2</sup> is selected from the group consisting of straight chained, branched or cyclic alkyl, CO-alkyl, CO-aryl, CO-alkoxyalkyl, CO-aryloxyalkyl, CO-substituted aryl, alkylsulfonyl, arylsulfonyl, aralkylsulfonyl, amino acid residue, mono, di, or triphosphate, or a phosphate prodrug;

with a pharmaceutically acceptable carrier or diluent.

- 204. (New) The pharmaceutical composition of claim 203, wherein R<sup>2</sup> is CO-alkyl.
- 205. (New) The pharmaceutical composition of claim 204, wherein the CO-alkyl is CO-methyl.
- 206. (New) The pharmaceutical composition of claim 204, wherein the CO-alkyl is CO-propyl.
- 207. (New) The pharmaceutical composition of claim 203, wherein  $R^2$  is an amino acid residue of the formula  $C(O)C(R^8)(R^9)(NR^{10}R^{11})$ , wherein

 $R^8$  is the side chain of an amino acid and wherein, as in proline,  $R^8$  can optionally be attached to  $R^{10}$  to form a ring structure; or alternatively,  $R^8$  is an alkyl, aryl, heteroaryl or heterocyclic moiety;

R9 is hydrogen, alkyl or aryl; and

R<sup>10</sup> and R<sup>11</sup> are independently hydrogen, acyl or alkyl.

- 208. (New) The pharmaceutical composition of claim 207, wherein  $\mathbb{R}^2$  is L-valinyl.
- 209. (New) A pharmaceutical composition comprising a compound of the formula

or its pharmaceutically acceptable salt thereof, with a pharmaceutically acceptable carrier or diluent.

210. (New) A pharmaceutical composition comprising an effective anti-HBV amount of a compound of the formula:

or its pharmaceutically acceptable salt thereof, wherein

R<sup>2</sup> is selected from the group consisting of straight chained, branched or cyclic alkyl, CO-alkyl, CO-aryloxyalkyl, CO-aryloxyalkyl, CO-substituted aryl, alkylsulfonyl, arylsulfonyl, aralkylsulfonyl, amino acid residue, mono di, or triphosphate, or a phosphate prodrug; and

R<sup>3</sup> and R<sup>4</sup> are independently H, straight chained, branched or cyclic alkyl, dialkylaminoalkylene (in particular, dimethylaminomethylene), CO-alkyl, CO-aryl, CO-alkoxyalkyl, CO-aryloxyalkyl, CO-substituted aryl, alkylsulfonyl, arylsulfonyl, aralkylsulfonyl, amino acid residue, mono, di, or triphosphate, or a phosphate prodrug; in combination with one or more anti-hepatitis B virus agents;

optionally with a pharmaceutically acceptable carrier or diluent.

(New) The pharmaceutical composition of claim 210, wherein R<sup>2</sup> is CO-alkyl.

- 212. (New) The pharmaceutical composition of claim 211, wherein the CO-alkyl is CO-methyl.
- 213. (New) The pharmaceutical composition of claim 211, wherein the CO-alkyl is CO-propyl.
- 214. (New) The pharmaceutical composition of claim 210, wherein  $R^2$  is an amino acid residue of the formula  $C(O)C(R^8)(R^9)(NR^{10}R^{11})$ , wherein

R<sup>8</sup> is the side chain of an amino acid and wherein R<sup>8</sup> can optionally be attached to R<sup>10</sup> to form a ring structure; or alternatively, R<sup>8</sup> is an alkyl, aryl, heteroaryl or heterocyclic moiety;

R9 is hydrogen, alkyl or aryl; and

R<sup>10</sup> and R<sup>11</sup> are independently hydrogen, acyl or alkyl.

- 215. (New) The pharmaceutical composition of claim 214, wherein R<sup>2</sup> is L-valinyl.
- 216. (New) The pharmaceutical composition of claim 210, wherein R<sup>3</sup> and R<sup>4</sup> are hydrogen.
- 217. (New) The pharmaceutical composition of claim 210, wherein R<sup>3</sup> is hydrogen and R<sup>4</sup> is dimethylaming-methylene.
- 218. (New) The pharmaceutical composition of claim 210, wherein R<sup>3</sup> is hydrogen and R<sup>4</sup> is CO-alkyl.
- (New) The pharmaceutical composition of claim 210, wherein R<sup>3</sup> is hydrogen and R<sup>4</sup> is CO-methyl.
- 220. (New) The pharmaceutical composition of claim 210, wherein R<sup>3</sup> is hydrogen and R<sup>4</sup> is L-valinyl.
- 221. (New) A pharmaceutical composition comprising an effective anti-HBV amount of a compound of the formula:

of its pharmaceutically acceptable salt thereof, wherein

R<sup>2</sup> is selected from the group consisting of straight chained, branched or cyclic alkyl, CO-alkyl, CO-aryl, CO-alkoxyalkyl, CO-aryloxyalkyl, CO-substituted aryl, alkylsulfonyl, arylsulfonyl, aralkylsulfonyl, amino acid residue, mono, di, or triphosphate, or a phosphate prodrug; in combination with one or more anti-hepatitis B virus agents:

in combination with one or more anti-hepatitis B virus agents; optionally with a pharmaceutically acceptable carrier or diluent.

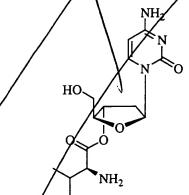
- 222. (New) The pharmaceutical composition of claim 221, wherein R<sup>2</sup> is CØ-alkyl.
- 223. (New) The pharmaceutical composition of claim 222, wherein the CO-alkyl is CO-methyl.
- 224. (New) The pharmaceutical composition of claim 222, wherein the CO-alkyl is CO-propyl.
- 225. (New) The pharmaceutical composition of claim 221 wherein R<sup>2</sup> is an amino acid residue of the formula C(O)C(R<sup>8</sup>)(R<sup>9</sup>)(NR<sup>10</sup>R<sup>11</sup>), wherein R<sup>8</sup> is the side chain of an amino acid and wherein R<sup>8</sup> can optionally be attached to R<sup>10</sup> to form a ring structure; or alternatively, R<sup>8</sup> is an alkyl, aryl, heteroaryl or heterocyclic

moiety;

R<sup>9</sup> is hydrogen, alkyl or aryl; and

R<sup>10</sup> and R<sup>11</sup> are independently hydrogen/acyl or alkyl.

- 226. (New) The pharmaceutical composition of claim 225, wherein R<sup>2</sup> is L-valinyl.
- 227. (New) A pharmaceutical composition comprising a compound of the formula



or its pharmaceutically acceptable salt thereof, in combination with one or more antihepatitis B virus agents; optionally with a pharmaceutically acceptable carrier or diluent.

- 228. (New) The pharmaceutical composition of any one of claims 210-227, wherein the antihepatitis B virus agent is a β-L-deoxyribonucleoside.
- 229. (New) The pharmaceutical composition of claim 228, wherein the β-L-deoxyribonucleoside is selected from the group consisting of β-L-deoxyribothymidine (β-L-dT), β-L-deoxyribocytosine (β-L-dC), β-L-deoxyribouridine (β-L-dU), β-L-deoxyriboadenine (β-L-dA), β-L-deoxyriboguanine (β-L-dG) or β-L-deoxyribo-inosine (β-L-dI).
- 230. (New) The pharmaceutical composition of claim 228, wherein the  $\beta$ -L-deoxyribonucleoside is  $\beta$ -L-deoxyribothymidine ( $\beta$ -L-dT).
- 231. (New) The pharmaceutical composition of any one of claims 210-227, wherein the antihepatitis B virus agent is selected from the group consisting of entecivir, cis-2-hydroxymethyl-5-(5-fluorocytosin-1-yl)-1,3-oxathiolane; (-)-cis-2-hydroxymethyl-5-(5-fluorocytosin-1-yl)-1,3-oxathiolane; (-)-cis-2-hydroxymethyl-5-(cytosin-1-yl)-1,3-oxathiolane; (3TC); β-D-dioxolanyl-guanine (DXG), β-D-dioxolanyl-2,6-diaminopurine (DAPD), β-D-dioxolanyl-6-chloropurine (ACP), L-FDDC (5-fluoro-3'-thia-2',3'-dideoxycytidine), carbovir, interferon, penciclovir, famciclovir, L-FMAU, BMS-200475, bis pom PMEA (adefovir, dipivoxil), pobucavir, ganciclovir, or ribavirin.
- 232. (New) The pharmaceutical composition of any one of claims 192-231, wherein the pharmaceutically acceptable carrier is suitable for oral delivery.
- 233. (New) The pharmaceutical composition of any one of claims 192-231, wherein the pharmaceutically acceptable carrier is suitable for intravenous delivery.
- 234. (New) The pharmaceutical composition of any one of claims 192-231, wherein the pharmaceutically acceptable carrier is suitable for parenteral delivery.
- 235. (New) The pharmaceutical composition of any one of claims 192-231, wherein the pharmaceutically acceptable carrier is suitable for intradermal delivery.
- 236. (New) The pharmaceutical composition of any one of claims 192-231, wherein the pharmaceutically acceptable carrier is suitable for subcutaneous delivery.
- 237. (New) The pharmaceutical composition of any one of claims 192-231, wherein the pharmaceutically acceptable carrier is suitable for topical delivery.